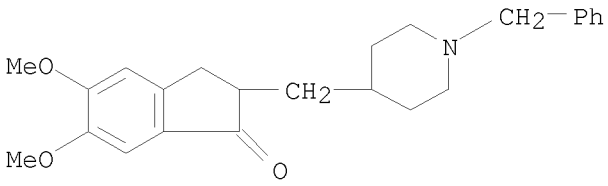
ANSWER 1 OF 1 REGISTRY COPYRIGHT 2010 ACS on STN
RN 120011-70-3 REGISTRY
ED Entered STN: 07 Apr 1989
CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, hydrochloride (9CI)
OTHER NAMES:
CN Aricept
CN Aricept D
CN BNAG
CN Donepezil hydrochloride
CN E 2020
CN E 2020 (pharmaceutical)
DR 142057-77-0
MF C24 H29 N O3 . Cl H
CI COM
SR CA
LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CBNB, CHEMCATS, CIN, CSCHEM, EMBASE, HSDB*, IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, MRCK*, PATDPASPC, PIRA, PROMT, PROUSDDR, PS, RTECS*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)
CRN (120014-06-4)




● HCl

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

557 REFERENCES IN FILE CA (1907 TO DATE)
19 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
563 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d his l5-l7

(FILE 'CAPLUS' ENTERED AT 09:32:05 ON 07 JUN 2010)
L5 563 S L2
L6 56 S L2 AND CRYSTAL?
L7 2 S L6 AND (METHYLENE(5A)CHLORIDE)

FILE 'REGISTRY' ENTERED AT 09:34:50 ON 07 JUN 2010

FILE 'CAPLUS' ENTERED AT 09:34:50 ON 07 JUN 2010

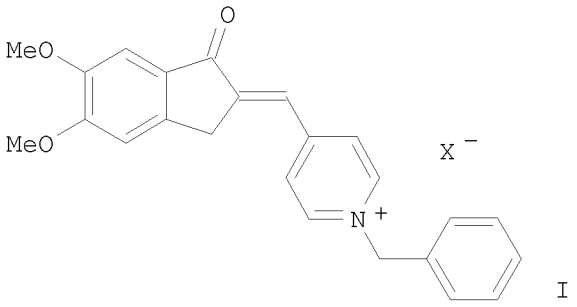
=> d bib abs hit 1-2

L7 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2009:1204112 CAPLUS
DN 151:403120
TI Preparation of donepezil hydrochloride
IN Rao, Dharmaraj Ramachandra; Kankan, Rajendra Narayanrao
PA Cipla Limited, UK; Patht, Sπnivas Laxminarayan; Curtis, Philip Anthony
SO PCT Int. Appl., 29pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2009118516 | A1 | 20091001 | WO 2009-GB776 | 20090324 |

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI IN 2008-MU636 A 20080325
OS CASREACT 151:403120
GI




AB The present invention provides a process for preparing donepezil or a salt, the process comprising reducing a 1-benzyl-4-[(5,6-dimethoxy-1-indanon-2-yl)methylene]pyridonium halide (I, X = Br or Cl), in the presence of an ionic compound, a solvent, a catalyst and a source of hydrogen, to form donepezil and optionally converting the donepezil to the salt.
IT Crystallization
Drug delivery systems
Hydrogenation
Hydrogenation catalysts
Reduction
(preparation of donepezil hydrochloride)
IT 60-29-7, Diethyl ether, uses 64-17-5, Ethanol, uses 64-19-7, Acetic

acid, uses 67-56-1, Methanol, uses 67-63-0, Isopropanol, uses 75-09-2, Methylene chloride, uses 77-92-9, Citric acid, uses 107-06-2, Ethylene chloride, uses 108-20-3, Diisopropyl ether 109-99-9, Thf, uses 127-08-2, Potassium acetate 127-09-3, Sodium acetate 141-78-6, Ethyl acetate, uses 585-29-5, Triethylammonium formate 631-61-8, Ammonium acetate 1336-21-6, Ammonium hydroxide 1634-04-4, tert-Butyl methyl ether 7447-40-7, Potassium chloride, uses 7601-89-0, Sodium perchlorate 7632-50-0, Ammonium citrate 7647-14-5, Sodium chloride, uses 7778-49-6, Potassium citrate 10103-46-5, Calcium phosphate 12125-02-9, Ammonium chloride, uses 14265-44-2, Phosphate, uses 14307-43-8, Ammonium tartrate 15066-28-1, Pyridinium formate 16068-46-5, Potassium phosphate
RL: NUU (Other use, unclassified); USES (Uses)
(preparation of donepezil hydrochloride)

IT 120011-70-3P, Donepezil hydrochloride
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of donepezil hydrochloride)

L7 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2005:1292008 CAPLUS
DN 144:27610
TI Preparation of polymorphs of donepezil hydrochloride
IN Aher, Umesh P.; Tarur, Venkatasubramanian R.; Sathe, Dhananjay Govind; Naidu, Avinash Venkataraman; Sawant, Kamlesh Digambar
PA USV Limited, India
SO U.S. Pat. Appl. Publ., 7 pp., Cont.-in-part of U.S. Ser. No. 72,169.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 6

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 20050272775 | A1 | 20051208 | US 2005-145202 | 20050603 |
| | US 7186842 | B2 | 20070306 | | |
| | US 6649765 | B1 | 20031118 | US 2003-365717 | 20030212 |
| | US 20040158070 | A1 | 20040812 | US 2003-714724 | 20031117 |
| | US 6953856 | B2 | 20051011 | | |
| | US 20050107613 | A1 | 20050519 | US 2004-879816 | 20040629 |
| | US 7439365 | B2 | 20081021 | | |
| | WO 2006011154 | A1 | 20060202 | WO 2004-IN227 | 20040728 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | EP 1771416 | A1 | 20070411 | EP 2004-806738 | 20040728 |
| | R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, HR, LT, LV | | | | |
| | US 20050288330 | A1 | 20051229 | US 2005-72169 | 20050304 |
| | IN 2006MN00197 | A | 20080905 | IN 2006-MN197 | 20060216 |
| | US 20080076928 | A1 | 20080327 | US 2006-412294 | 20060427 |
| | US 20070123565 | A1 | 20070531 | US 2006-557764 | 20061108 |
| PRAI | US 2003-365717 | A2 | 20030212 | | |
| | US 2003-714724 | A2 | 20031117 | | |

```
     US 2004-879816       A2    20040629
     WO 2004-IN227        A     20040728
     US 2005-72169        A2    20050304
     US 2005-145202       A2    20050603
     US 2005-752640P      P     20051221
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
AB   The present invention discloses a novel, stable polymorph of
     1-benzyl-4[(5,6-dimethoxy-1-indanone)-2-yl]methylpiperidine-HCl
     (donepezil-HCl) (I).  Further the present invention discloses a process
     for producing amorphous I and its polymorphic Form VI.  Thus, I was prepared
     by the reaction of the free base with oxalic acid followed by treatment
     with HCl.
OSC.G   3     THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
RE.CNT  7     THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
IT   Crystal structure
        (of donepezil polymorph)
IT   Alzheimer's disease
     Polymorphism (crystal)
     Solvents
        (preparation of polymorphs of donepezil hydrochloride)
IT   67-66-3, Chloroform, uses   75-09-2, Methylene chloride
     , uses   108-88-3, Toluene, uses   141-78-6, Ethyl acetate, uses
     RL: NUU (Other use, unclassified); USES (Uses)
        (preparation of polymorphs of donepezil hydrochloride)
IT   120011-70-3, Donepezil hydrochloride
     RL: PRP (Properties)
        (preparation of polymorphs of donepezil hydrochloride)
```